



PATENT APPLICATION

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re application of

Docket No: Q96434

Masaaki HIRANO, et al.

Appln. No.: 10/588,485

Group Art Unit: 1614

Confirmation No.: 8206

Examiner: not yet assigned

Filed: August 4, 2006

For:

PROPANE-1, 3-DIONE DERIVATIVE OR SALT THEREOF

INFORMATION DISCLOSURE STATEMENT UNDER 37 C.F.R. §§ 1.97 and 1.98

MAIL STOP AMENDMENT

Commissioner for Patents P.O. Box 1450 Alexandria, VA 22313-1450

Sir:

In accordance with the duty of disclosure under 37 C.F.R. § 1.56, Applicants hereby notify the U.S. Patent and Trademark Office of the documents which are listed on the attached PTO/SB/08 A & B (modified) form and/or listed herein and which the Examiner may deem material to patentability of the claims of the above-identified application.

One copy of each of the listed documents is submitted herewith, except for the following: U.S. patents and/or U.S. patent publications; and co-pending non-provisional U.S. applications filed after June 30, 2003.

The present Information Disclosure Statement is being filed: (1) No later than three months from the application's filing date; (2) Before the mailing date of the first Office Action on the merits (whichever is later); or (3) Before the mailing date of the first Office Action after

INFORMATION DISCLOSURE STATEMENT

U.S. Appln. No.: 10/588,485

Attorney Docket No.: Q96434

filing a request for continued examination (RCE) under §1.114, and therefore, no Statement

under 37 C.F.R. § 1.97(e) or fee under 37 C.F.R. § 1.17(p) is required.

In compliance with the concise explanation requirement under 37 C.F.R. § 1.98(a)(3) for

foreign language documents, Applicants submit the following explanations:

English language abstracts submitted herewith, constitute a concise explanation for the

foreign language documents on the attached list.

The submission of the listed documents is not intended as an admission that any such

document constitutes prior art against the claims of the present application. Applicants do not

waive any right to take any action that would be appropriate to antedate or otherwise remove any

listed document as a competent reference against the claims of the present application.

The USPTO is directed and authorized to charge all required fees, except for the Issue

Fee and the Publication Fee, to Deposit Account No. 19-4880. Please also credit any

overpayments to said Deposit Account.

Respectfully submitted,

Registration No. 32,197

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65565

CUSTOMER NUMBER

Date: August 24, 2007

2

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JP59064840

Statement By APPLICANT

(use as many sheets as necessary)

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of

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Complete if Known						
Application Number	10/588,485					
Confirmation Number	8206					
Filing Date	August 4, 2006					
First Named Inventor	Masaaki HIRANO					
Pirst Named Inventor Art Unit	1614					
	not yet assigned					
Attorney Docket Number	Q96434					

<u>,</u>				PATENT DOCU	MENTS
Examiner Initials*	Cite No.1	Document No	Kind Code ² (if known)	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document
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		US 4062686		12-13-1977	Eastman Kodak Company
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		US 4946960		08-07-1990	Vickers PLC

^{*}EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

¹Applicant's unique citation designation number (optional). ²See Kind Codes of USPTO Patent Documents at www.uspto.gov, MPEP 901.04 or follow the hyperlink from the title of the document to the intranet. ³ Enter Office that issued the document, by the two-letter code (WIPO Standard ST. 3). ⁴For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. ⁵Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST. 16 if possible. ⁶ Applicant is to indicate here if English language Translation is attached.

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		WO		A2	09-20-1989	FUJI PHOTO FILM CO., LTD	abstract			
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Examiner Initials*	Cite No. ¹	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city, and/or country where published.	Translation ⁶		
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^{*}EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

¹Applicant's unique citation designation number (optional). ²See Kind Codes of USPTO Patent Documents at www.uspto.gov, MPEP 901.04 or follow the hyperlink from the title of the document to the intranet. ³ Enter Office that issued the document, by the two-letter code (WIPO Standard ST. 3). ⁴For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. ⁵Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST. 16 if possible. ⁶ Applicant is to indicate here if English language Translation is attached.

Collect. Czech. Chem. Commun. (1973), vol. 38(12), pp. 3616-3622. .

A. Mistr et al., Organische Lichtempfindliche Stoffe V. Aclmethylenderivate Heterocyclischer Stickstoffhaltiger Basen ALS Sensibilisatoren Lichtempfindlicher Polymerer,

Organic light-sensitive substances. Acylmethylene derivatives of heterocyclic nitrogen-containing bases as sensitizers for light-sensitive polymers.

ABS

Condensation of quaternary salts of 2-methylbenzothiazoles or selenazoles with substituted benzyl chlorides in pyridine gave 18 mono- and diacylmethylene derivs. of the general formula I (Y = S, Se; R1 = Me, Et; R2 = H, p-OMe, m-NO2, p-NO2, p-I; R3 = H, R2C6H4(SH:CH)nCO; n = 0,1), which were examd as sensitizing agents for light -sensitive poly(vinyl cinnamate) (II) [24968-99-8] and poly(vinyl p-azidobenzoate) [29928-09-4]. A dropwise addition of 0.033 mole quaternary benzothiazole salt to 0.033 mole corresponding acyl chloride at 10.deg. followed by 1 hr strring at 20.deg. gave 49.9% 2-[(4-methoxybenzoyl)methylene]-3-ethylbenzothiazoline (I; Y = S; R1 = Et; R2 = p-OCH3; R3 = H; n = 0) [51936-64-2]. The light sensitivity data obtained for II indicated that Se, present in the heterocyclic I ring, was more effective than S, and that the substitution on the benzoyl ring decreased the light sensitivity effect of I through the substituent series p-OMe, m-NO2, CH:CH, p-I, p-NO2.

A. Mistr et al., Organische Lichtempfindliche Stoffee II. Benzoylmethylenderivate Heretocyclischer Stickstoffhaltiger Basen ALS Sensibilisatoren Fur Lichtempfinliche Polymere, Collect. Czech. Commun. (1971), vol. 36(1), pp. 150-163.

ABS

Alkyl toluate salts of substituted and unsubstituted benzothiazole and benzoselenazole are treated with BzCl in pyridine to prepare I (Y = S or Se, R = H or Bz, R1 = Me or Et) and analogs containing a Cl, Me, Et, MeO, or benzo group on the 6-membered ring. I (R = Bz) had greater light sensitizing activity in poly(vinyl cinnamate) and poly(vinyl p-azidobenzoate) than did I (R = H), and the benzoselenazoline photosensitizers were more active than the benzothiazoline photosensitizers. Substituents at the 5-position on the aromatic ring had no effect and 6-methoxy substituents, a small pos. effect on sensitizing activity. Varying the R1 alkyl substituent affected solubility but not sensitizing activity.

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G.I. Gaeva and K.S. Liadikov, Zh. Nauch. Prikl. Fotogr. Kinematogr. (1971) vol. 16(4), pp. 282-288 Sensitization of poly(vinyl cinnamate) by derivatives of benzoyl- and dibenzoylmethylenebenzothiazoline and –benzoselenazoline. ABS Of the 22 benzothiazoline dyes and benzoselenazoline dyes studied, of the general formula (I) (where Y = S or Se, R = Me or Et, R1 = H or COPh, R2 = COPh, R3 = H, Cl, MeO, and 4,5- or 6,7-benzo group), 1-methyl-2- (dibenzoylmethylenenaphtho[1,2-d]thiazole and 3-methyl-5-methoxy-2- (dibenzoylmethylene)benzoselenazole had the highest sensitization effectiveness and increased the light sensitivity of poly(vinyl cinnamate) (I) 2.5 times. The spectral sensitivity of dyes and their optimum concentration in I were determined A sensitization mechanism was proposed.
The Chemistry and Biological Activity of Synthetic and Natural Compounds: Nitrogen-Containing Heterocycles, Vol. 1 (2006), pp. 243-248. Chemistry of Heterocyclic Compounds (New York, NY, United States)(Translation of Khimiya
Geterotsiklicheskikh Soedinenii) (2001), 37(5), 554-559 Bioorganic & Medicinal Chemistry Letters, Volume 15, Issue 11, 2 June 2005, Pages 2894-2897 Synthesis, in vivo and in vitro biological activity of novel azaline B analogs

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Zh. Organic Khim. (1994), 30(6), 909-14

LA Russian

Explanation: Found by CAS Search. CAS Search Result is set forth below.

L13 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:373237 HCAPLUS Full-text

DN 123:169551

ED Entered STN: 24 Feb 1995

TI C-Monobenzoylation and dibenzoylation of 2-methylbenzimidazole by benzoyl chloride

AU Dzvinchuk, I. B.; Lozinskii, M. O.; Vypirailenko, A. V.

CS Inst. Org. Khim., Kiev, Ukraine

SO Zhurnal Organicheskoi Khimii (1994), 30(6), 909-14

CODEN: ZORKAE; ISSN: 0514-7492

PB Nauka

DT Journal

LA Russian

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

GI

- AB Reaction of 2-methylbenzimidazole with BzCl in the presence of Et3N gave monobenzoyl (I), dibenzoyl (II and III), tribenzoyl (IV), and tetrabenzoyl derivs. (V). The interconversion of these products and the effect of temperature were examined
- ST benzoylation methylbenzimidazole; benzimidazole methyl benzoylation

IT Benzoylation

(of methylbenzimidazole by benzoyl chloride)

IT 615-15-6, 2-Methylbenzimidazole

RL: RCT (Reactant); RACT (Reactant or reagent)

(benzoylation of)

IT 98-88-4, Benzoyl chloride

RL: RCT (Reactant); RACT (Reactant or reagent)

(benzoylation of methylbenzimidazole by)

IT 67264-61-3P 167281-71-2P 167281-72-3P 167281-73-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(benzoylation of methylbenzimidazole by benzoyl chloride)

IT 74440-30-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(benzoylation of methylbenzimidazole by benzoyl chloride)

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 MODIFIED PTO/SB/08 A & B (08-03
Bulletin de la Societe Chimique de France (1974), (3-4, Pt. 2), 525-8
ABS Benzimidazole series. V. Behavior of 2-methylene-1,3-dimethylbenimidazoline. Alkylation and acylation reaction.
The title compound (I, X = CH2) underwent substitution with halides to give I [X = CHMe, CHCHMe2, CHCH2Ph, CHC6H3(NO2)2-2,4, CHI, 4,6-dichloro-1,3,5- triazin-2-ylmethylene, CHAc, CHBz,
CHSO2Me, CAc2, CBz2, C(SO2Me)2], some of which were isolated as the 2-alkylbenzimidazolium salts. Dimeric acylation products were obtained with ClCO(CH2)nCOCl (n = 0,2).
Me C Ph C C Ph Me HI
Journal fuer Praktische Chemie (Leipzig) (1979), 321(2), 320-2
Collection of Czechoslovak Chemical Communications (1978), 43(3), 739-45
Horumon to Rinsyo (Hormones and Clinical), 46, 46-57 (1998)
ABS
Gonadotropin releasing hormone is known as a hormone which controls secretion of sex hormones at the highest position, and controls secretion of anterior pituitary hormones luteinizing hormone and follicle-stimulating hormone and sex hormones in sex glands, via a receptor which is present in the anterior pituitary. Since antagonists specific and selective for this GnRH receptor regulate the action of GnRH and control secretion of subordinate LH and FSH and sex hormones, they are expected as preventive or therapeutic agents for sex hormone dependent diseases.
Molecular Endocrinology 14 671-681 2000 Identification of Phe ³¹³ of the Gonadotropin-Releasing Hormone (GnRH) Receptor as a Site Critical for the Binding of Nonpeptide GnRH Antagonists
Molecullar and Cellular Endocrin. 144 11-19 1998 Functional analysis of GnRH receptor ligand binding using biotinylated GnRH derivatives
The Prostate 20 297-310 1992 Effect of microcapsules of luteinizing hormone-releasing hormone antagonist SB-75 and somatostatin analog RC-160 on endocrine status and tumor growth in the Dunning R-3327H rat prostate cancer model.
Endocrinology 137 3430-3436 1996 Chronic administration of the luteinizing hormone-releasing hormone (LHRH) antagonist cetrorelix decreases gonadotrope responsiveness and pituitary LHRH receptor messenger ribonucleic acid levels in rats
J. Med. Chem. 2005, 48, 1169-1178
3-[(2R)-Amino-2-phenylethyl]-1-(2,6-difluorobenzyl)-5-(2-fluoro-3-methoxyphenyl)- 6-methylpyrimidin- 2,4-dione (NBI 42902) as a Potent and Orally Active Antagonist of the Human Gonadotropin-Releasing Hormone Receptor. Design, Synthesis, and in Vitro and in Vivo Characterization
Bioorg. Med. Chem. Lett. 14(9) 2269-2274 2004 Synthesis and structure–activity relationships of (<i>R</i>)-1-alkyl-3-[2-(2-amino)phenethyl]-5-(2-fluorophenyl)-6-methyluracils as human GnRH receptor antagonists
Bioorg. Med. Chem. Lett. 15(10) 2519-2522 2005 Uracils as potent antagonists of the human gonadotropin-releasing hormone receptor without atropisomers
Curr.Opin. Drug Discovery Dev. 7, 832-847, 2004 Synthesis of orally active small-molecule gonadotropin-relea sing hormone antagonists
Bioorg. Med. Chem. Lett. 15(5) 1407-1411 2005 Efficient synthesis of bicyclic oxazolino- and thiazolino[3,2-c]pyrimidine-5,7-diones and its application to the synthesis of GnRH antagonists

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Bioorg. Med. Chem. Lett. 15(9) 2265-2269 2005 Benzimidazoles as non-peptide luteinizing hormone-releasing hormone (LHRH) antagonists. Part 3: Discovery of 1-(1H-benzimidazol-5-yl)-3-tert-butylurea derivatives
J. Med. Chem. 2004, 47, 3483-3486 3-(2-Aminoalkyl)-1-(2,6-difluorobenzyl)-5- (2-fluoro-3-methoxyphenyl)-6-methyl- uracils as Orally Bioavailable Antagonists of the Human Gonadotropin Releasing Hormone Receptor
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Bioorg. Med. Chem. Lett. 14 1599-1602 2004 Elimination of antibacterial activities of non-peptide luteinizing hormone-releasing hormone (LHRH) antagonists derived from erythromycin A
J. Med.Chem. 2004, 47, 1259-1271 Synthesis and Structure-Activity Relationships of 1-Arylmethyl-5-aryl-6-methyluracils as Potent Gonadotropin-Releasing Hormone Receptor Antagonists
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J.Med.Chem. 2004, 47, 1085-1097 Nonpeptide Luteinizing Hormone-Releasing Hormone Antagonists Derived from Erythromycin A: Design, Synthesis, and Biological Activity of Cladinose Replacement Analogues
J. Pharmaco. Experi. Ther. 305 688-695 2003 Gonadotropin-releasing hormone (GnRH) receptor antagonists have potential in treating numerous hormone-dependent pathologies including cancers of the prostate, breast, and ovary, endometriosis, and fertility disorders
J. Clin. Endocri. Metab. 88 1697-1704 2003
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J.Med.Chem. 2003, 46, 2023-2026 Identification of 1-Arylmethyl-3- (2-aminoethyl)-5-aryluracil as Novel Gonadotropin-Releasing Hormone Receptor Antagonists
Bioorg. Med. Chem. Lett. 12 2179-2183 2002 Synthesis and initial structure–Activity relationships of a novel series of imidazolo[1,2-a]pyrimid-5-ones as potent GnRH receptor antagonists
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ABS	
Me Ph	
(PhCO) 2C X	
I NC S S CN II	
H I NO SS SS CN II	
Bz Bz	
Bz	
Mes Ph	
MeS SMe III Ph IV	
The reactions of thioacetals and dithiolates were described. Thus, (RCO)2C:C(SMe)2 (R = Me, Ph)	
reacted with PhCH2NH2 to give (RCO)2C:CR1R2 (R1 = SMe, R2 = NHCH2Ph; R1 = R2 = NHCH2Ph)	
and (PhCO)2C:C(SMe)2 reacted with dinucleophiles, e.g., (H2NCH2)2 and o-(H2N)2C6H4, to give	
cyclic heteroacetals, e.g. I (X = CH2CH2 o-C6H4). Alkylation of MeCO(PhCO)C:C(S-)2 with CICH2CN	
gave thienothiophene II via an open-chain S,S-acetal and subsequent cyclization. PhCOCH2COCH2Ph	
reacted with CS2 and NaH to cleave Na2S; alkylation of the product with Mel gave thiopyranone III.	
Treating (PhCOCH2)2 with PhNCS gave PhCOC(CH2COPh):C(NHPh)S- which was cyclized and	
methylated to give pyrrole IV.	
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